

Patent claims

1. A carboxylic acid diester of polysaccharides or polysaccharide derivatives.
- 5 2. The carboxylic acid diester as claimed in claim 1, characterized in that the polysaccharides or polysaccharide derivatives are starch fractions or starch fraction derivatives.
3. The carboxylic acid diester as claimed in claim 2, characterized in that the
10 starch fractions are breakdown fractions of amylopectin.
4. The carboxylic acid diester as claimed in claim 3, characterized in that the breakdown fractions of amylopectin are obtained by acid breakdown and/or breakdown by α -amylase of waxy corn starch.
- 15 5. The carboxylic acid diester as claimed in claim 4, characterized in that the starch fractions have a mean molecular weight M_w of 2000-50 000 dalton and a mean branching of 5-10 mol% of α -1,6-glycosidic bonds.
- 20 6. The carboxylic acid diester as claimed in claim 4, characterized in that the starch fractions have a mean molecular weight M_w of 2000-50 000 dalton and a mean branching in the range from > 10 to 25 mol% of α -1,6- glycosidic bonds.
7. The carboxylic acid diester as claimed in claim 2, characterized in that the starch fraction
25 derivatives are hydroxyethyl derivatives of breakdown fractions of waxy corn starch.
8. The carboxylic acid diester as claimed in claim 7, characterized in that the mean molecular weight M_w of the hydroxyethyl starch fractions is in the range 2-300 000 dalton and the degree of substitution M_s is between 0.1 and 0.8, and also the C2/C6
30 ratio of the substituents on the carbon atoms C2 and C6 of the anhydroglucoses is between 2 and 15.

9. The carboxylic acid diester as claimed in at least one of claims 1 to 8, characterized in that an alcohol from which the alcohol component of the carboxylic acid diester is derived has a molecular weight in the range from 80 to 500 g/mol.
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10. The carboxylic acid diester as claimed in at least one of claims 1 to 9, characterized in that an alcohol from which an alcohol component of the carboxylic acid diester is derived has a pKa in the range from 6 to 12.
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11. The carboxylic acid diester as claimed in at least one of claims 1 to 10, characterized in that an alcohol, from which an alcohol component of the carboxylic acid diester is derived, of the carboxylic acid diester comprises an HO-N group or a phenol group.
12. The carboxylic acid diester as claimed in at least one of claims 1 to 11, characterized in that an alcohol from which the alcohol component of the carboxylic acid diester is derived is selected from N-hydroxysuccinimide, sulfo-N-hydroxysuccinimide, substituted phenols and hydroxybenzotriazole.
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13. The carboxylic acid diester as claimed in claim 12, characterized in that an alcohol from which an alcohol component of the carboxylic acid diester is derived is N-hydroxysuccinimide and sulfo-N-hydroxysuccinimide.
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14. A solid comprising at least one carboxylic acid diester as claimed in at least one of claims 1 to 13.
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15. A solution comprising at least one carboxylic acid diester as claimed in at least one of claims 1 to 13.
16. The solution as claimed in claim 15, characterized in that the solution comprises at least one organic solvent.
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17. The solution as claimed in claim 16, characterized in that the solution comprises at most 0.5% by weight of water.
- 5 18. The solution as claimed in at least one of claims 15 to 17, characterized in that the solution comprises at least one aprotic solvent.
19. The solution as claimed in claim 18, characterized in that the solvent comprises dimethyl sulfoxide (DMSO), N-methylpyrrolidone, dimethylacetamide (DMS) and/or dimethylformamide (DMF).
- 10 20. A method for production of carboxylic acid diester as claimed in at least one of claims 1 to 19, characterized in that at least one polysaccharide and/or a polysaccharide derivative is reacted with at least one carboxylic acid diester in aprotic solvent.
- 15 21. The method as claimed in claim 20, characterized in that both alcohol components of the carboxylic acid diester have a pKa in the range 6 to 12.
22. The method as claimed in claim 21, characterized in that N,N'-disuccinimidyl carbonate is used as carboxylic acid diester.
- 20 23. The method as claimed in at least one of claims 20 to 22, characterized in that the reaction takes place at a temperature in the range from 0 to 40°C.
24. The method as claimed in at least one of claims 20 to 23, characterized in that the reaction takes place at a low base activity.
- 25 25. A method for producing pharmaceutical active substances coupled at free amino functions to polysaccharides or polysaccharide derivatives, characterized in that at least one carboxylic acid diester as claimed in one of claims 1 to 13 is reacted with a pharmaceutical active substance which has at least one amino group.
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26. The method as claimed in claim 25, characterized in that the reaction takes place in aqueous medium.

27. The method as claimed in claim 26, characterized in that the pH of the aqueous medium is in the range from 7 to 9.

28. The method as claimed in at least one of claims 25 to 27, characterized in that the reaction takes place at a temperature in the range from 0°C to 40°C.

29. The method as claimed in at least one of claims 25 to 28, characterized in that the pharmaceutical active substance is a polypeptide or a protein.

30. A pharmaceutical active substance obtainable by a method as claimed in at least one of claims 25 to 29.